09593173

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=> d his

     (FILE 'HOME' ENTERED AT 11:41:46 ON 07 MAR 2005)

     FILE 'REGISTRY' ENTERED AT 11:41:57 ON 07 MAR 2005
L1             STRUCTURE UPLOADED
L2           0 S L1
L3           0 S L1 SSS FULL
L4             STRUCTURE UPLOADED
L5           4 S L4
L6          40 S L4 SSS FULL

     FILE 'CAPLUS' ENTERED AT 11:46:51 ON 07 MAR 2005
L7          10 S L6

     FILE 'REGISTRY' ENTERED AT 11:51:44 ON 07 MAR 2005

     FILE 'MARPAT' ENTERED AT 11:51:51 ON 07 MAR 2005
L8           0 S L6
L9           8 S L6 SSS FULL
L10          5 S L9/COMPLETE

     FILE 'CAPLUS' ENTERED AT 11:53:49 ON 07 MAR 2005
L11          3 S L10 NOT L7
               E GUARNA A/IN
L12          6 S E4
L13          4 S L12 NOT L7

=>
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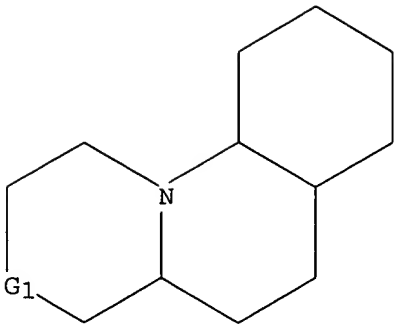
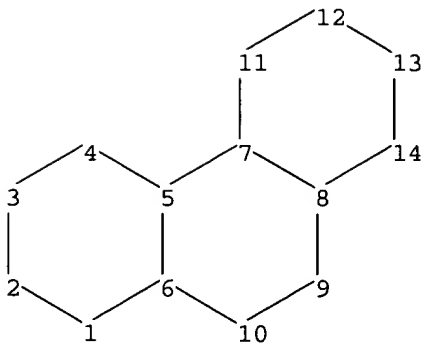
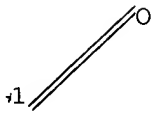
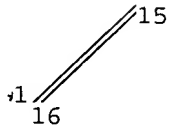
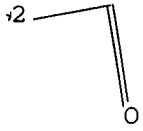
09593173

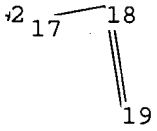












chain nodes :
15 16 17 18 19
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14
chain bonds :
15-16 17-18 18-19
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 11-12 12-13 13-14
exact/norm bonds :
1-2 1-6 2-3 3-4 4-5 5-6 5-7 6-10 7-8 7-11 8-9 8-14 9-10 15-16 17-18 18-19
exact bonds :
11-12 12-13 13-14
isolated ring systems :
containing 1 :

G1:NO2,[*1],[*2]

Match level :

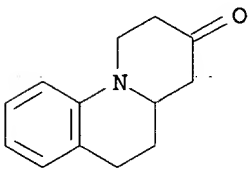
09593173

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:CLASS 16:CLASS 17:CLASS 18:CLASS
19:CLASS

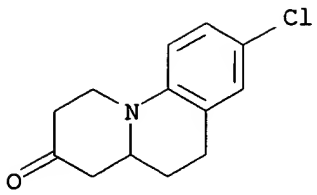
09593173

=> d 1-10 bib abs hitstr

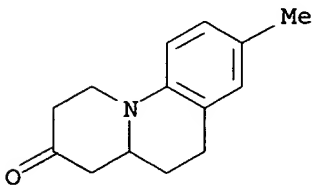
L7 ANSWER 1 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:690879 CAPLUS
DN 141:360203
TI Benzo[c]quinolizin-3-ones Theoretical Investigation: SAR Analysis and Application to Nontested Compounds
AU Braga, S. F.; Galvao, D. S.
CS Instituto de Fisica Gleb Wataghin, Universidade Estadual de Campinas, Campinas, 13083-970, Brazil
SO Journal of Chemical Information and Computer Sciences (2004), 44(6), 1987-1997
CODEN: JCISD8; ISSN: 0095-2338
PB American Chemical Society
DT Journal
LA English
AB We investigate with the use of theor. methodologies the activity of a set of 47 benzo[c]quinolizin-3-ones (BC3), some of them explored as selective inhibitors of the human 5α-reductase steroid. For the structure-activity study we have considered dividing the mols. into groups of tested and nontested compds. Semiempirical calcns. and pattern recognition methods such as Electronic Indexes Methodol. (EIM), Principal Components Anal. (PCA), Hierarchical Cluster Anal. (HCA), and K-Nearest Neighbors (KNN) have been applied to search for a correlation between exptl. activity and theor. descriptors. Our results show that it is possible to directly correlate some mol. quantum descriptors with BC3 biol. activity. This information can be used in principle to identify active/inactive untested compds. and/or to design new active compds.
IT **194979-79-8 194979-82-3 194979-83-4**
307335-24-6 307335-25-7 307335-26-8
307335-27-9 307335-28-0 307335-30-4
307335-31-5 307335-32-6 307335-33-7
758719-96-9 758719-97-0 758719-98-1
758719-99-2 758720-00-2 758720-01-3
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(benzo[c]quinolizin-3-ones theor. investigation for SAR anal. and application to nontested compds.)
RN 194979-79-8 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RN 194979-82-3 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)

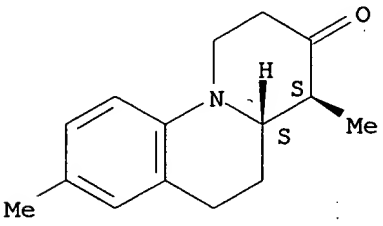


RN 194979-83-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-8-methyl- (9CI) (CA INDEX NAME)



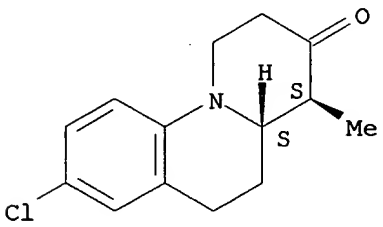
RN 307335-24-6 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4,8-dimethyl-, (4R,4aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 307335-25-7 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4-methyl-, (4R,4aR)-rel- (9CI) (CA INDEX NAME)

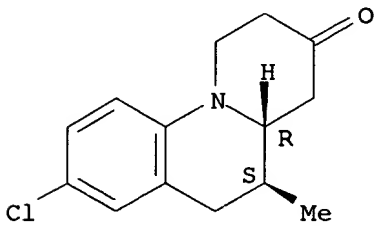
Relative stereochemistry.



RN 307335-26-8 CAPLUS

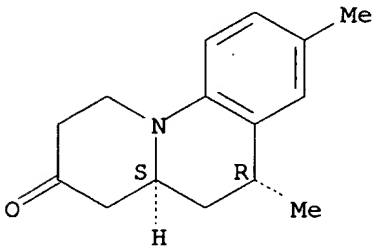
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-5-methyl-, (4aR,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



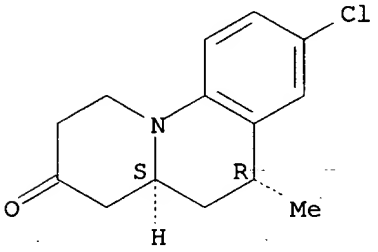
RN 307335-27-9 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-6,8-dimethyl-, (4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



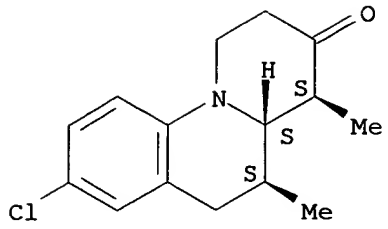
RN 307335-28-0 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-6-methyl-, (4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



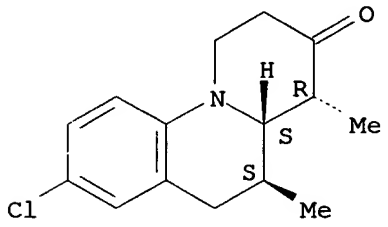
RN 307335-30-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,5-dimethyl-, (4R,4aR,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 307335-31-5 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,5-dimethyl-, (4R,4aS,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 307335-32-6 CAPLUS
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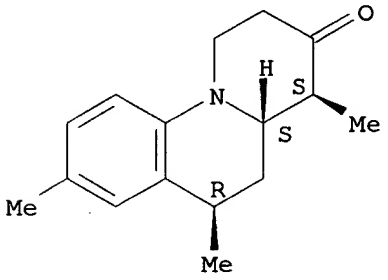
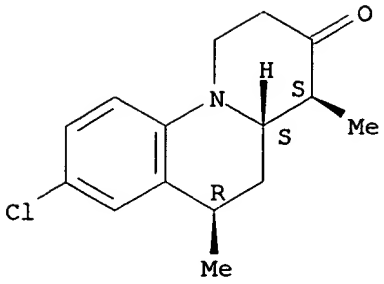
Relative stereochemistry.



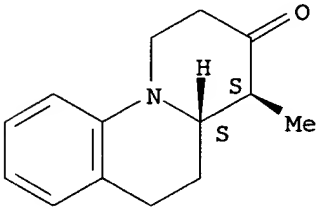
RN 307335-33-7 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,6-dimethyl-, (4R,4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



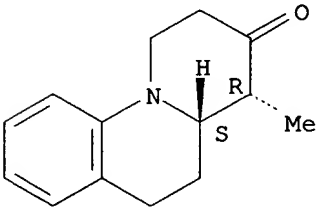
RN 758719-96-9 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl-, (4R,4aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



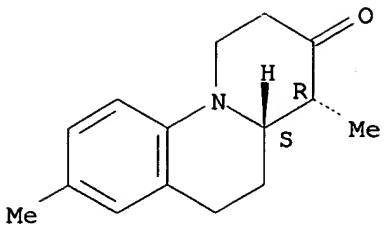
RN 758719-97-0 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl-, (4R,4aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



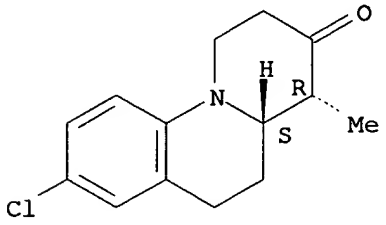
RN 758719-98-1 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4,8-dimethyl-, (4R,4aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 758719-99-2 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4-methyl-, (4R,4aS)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 758720-00-2 CAPLUS
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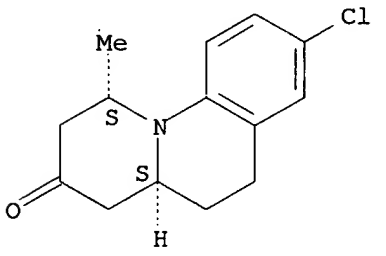
Relative stereochemistry.



RN 758720-01-3 CAPLUS
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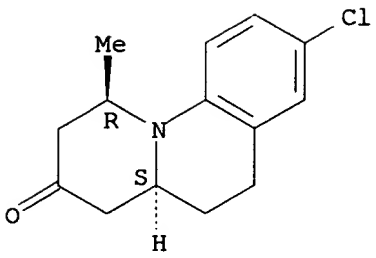
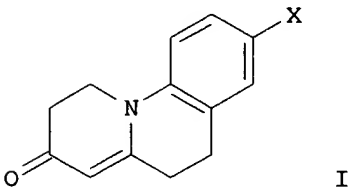
Relative stereochemistry.



RE.CNT 60 THERE ARE 60 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:632698 CAPLUS
DN 133:362693
TI Benzo[c]quinolizin-3-ones: A Novel Class of Potent and Selective Nonsteroidal Inhibitors of Human Steroid 5α-Reductase 1
AU Guarna, Antonio; Machetti, Fabrizio; Occhiato, Ernesto G.; Scarpi, Dina; Comerci, Alessandra; Danza, Giovanna; Mancina, Rosa; Serio, Mario; Hardy, Kimber
CS Dipartimento di Chimica Organica U. Schiff and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, Universita di Firenze, Florence, I-50121, Italy
SO Journal of Medicinal Chemistry (2000), 43(20), 3718-3735
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
GI



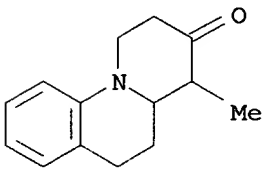
I

AB The synthesis and biol. evaluation of a series of novel, selective inhibitors of isoenzyme 1 of human 5α-reductase (5αR) (EC 1.3.99.5) are reported. The inhibitors are 4aH- or 1H-tetrahydrobenzo[c]quinolizin-3-ones bearing at positions 1, 4, 5, or 6 a Me group and at position 8 a hydrogen, Me group, or chlorine atom. All these compds. were tested toward 5αR-1 and 5αR-2 expressed in CHO cells (CHO 1827 and CHO 1829, resp.) resulting in selective inhibitors of the type 1 isoenzyme, with inhibitory potencies (IC50) ranging from 7.6 to 9100 nM. The inhibitors of the 4aH-series, having a double bond at position 1,2, were generally less active than the corresponding inhibitors of the 1H-series having the double bond at position 4,4a on the A ring. The presence of a Me group at position 4, associated with a substituent at position 8, determined the highest inhibition potency (IC50 from 7.6 to 20 nM). The 1H-benzo[c]quinolizin-3-ones I [X = Me, Cl], having Ki values of 5.8 ± 1.8 and 2.7 ± 0.6 nM, resp., toward 5αR-1 expressed in CHO

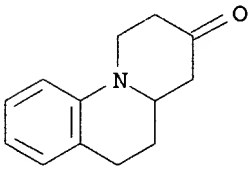
cells, were also tested toward native 5αR-1 in human scalp and 5αR-2 in human prostate homogenates, in comparison with finasteride and the known 5αR-1-selective inhibitor LY191704, and their mechanism of inhibition was determined They both inhibited the enzyme through a reversible competitive mechanism and again were selective inhibitors of 5αR-1 with IC50 values of 41 nM. These specific features make these inhibitors suitable candidates for further development as drugs in the treatment of DHT-dependent disorders such as acne and androgenic alopecia in men and hirsutism in women.

IT **5569-24-4P 194979-79-8P 194979-82-3P**
194979-83-4P 307335-24-6P 307335-25-7P
307335-26-8P 307335-27-9P 307335-28-0P
307335-29-1P 307335-30-4P 307335-31-5P
307335-32-6P 307335-33-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of benzo[c]quinolizin-3-ones as potent and selective nonsteroidal inhibitors of human steroid 5α-reductase 1)

RN 5569-24-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



RN 194979-79-8 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RN 194979-82-3 CAPLUS
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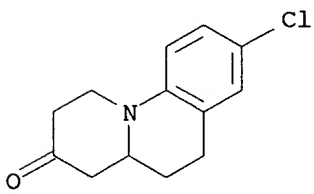

RN 194979-83-4 CAPLUS
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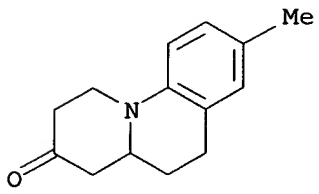
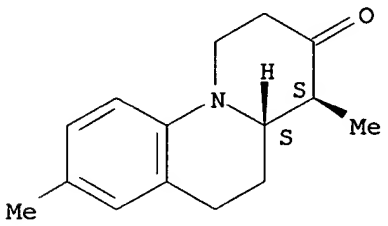


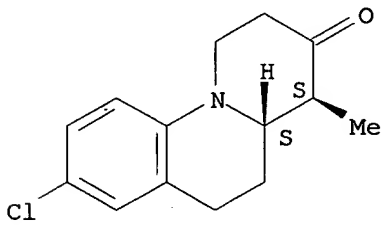
RN 307335-24-6 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4,8-dimethyl-, (4R,4aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



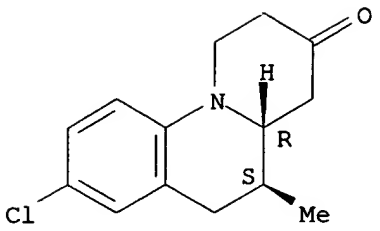
RN 307335-25-7 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4-methyl-, (4R,4aR)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



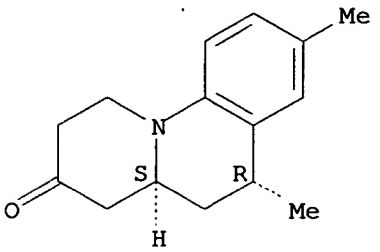
RN 307335-26-8 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-5-methyl-, (4aR,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



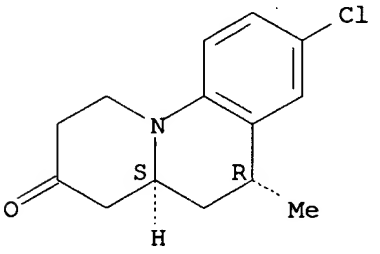
RN 307335-27-9 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-6,8-dimethyl-, (4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

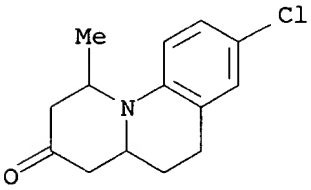


RN 307335-28-0 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-6-methyl-, (4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

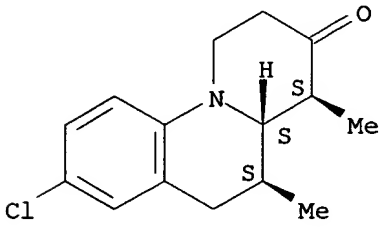


RN 307335-29-1 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-1-methyl- (9CI) (CA INDEX NAME)



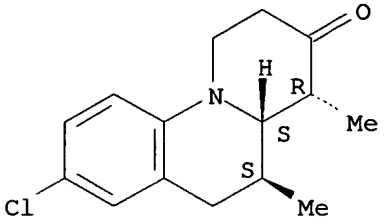
RN 307335-30-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,5-dimethyl-, (4R,4aR,5R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



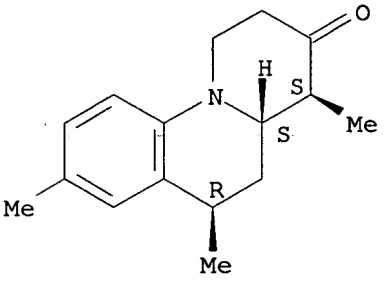
RN 307335-31-5 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,5-dimethyl-, (4R,4aS,5S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



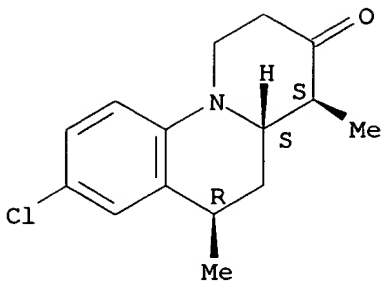
RN 307335-32-6 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4,6,8-trimethyl-, (4R,4aR,6S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 307335-33-7 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-4,6-dimethyl-, (4R,4aR,6S)-rel- (9CI) (CA INDEX NAME)

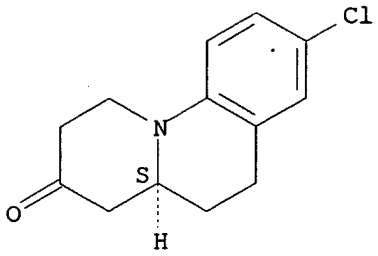
Relative stereochemistry.



RE.CNT 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

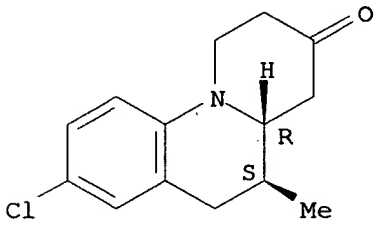
L7 ANSWER 3 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:177171 CAPLUS
DN 132:317634
TI Synthesis of 8-chloro-benzo[c]quinolizin-3-ones as potent and selective inhibitors of human steroid 5α-reductase 1
AU Guarna, Antonio; Occhiato, Ernesto G.; Scarpi, Dina; Zorn, Chiara; Danza, Giovanna; Comerci, Alessandra; Mancina, Rosa; Serio, Mario
CS Dipartimento di Chimica Organica "U. Schiff" and Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e loro Applicazioni, CNR, Universita di Firenze, Florence, I-50121, Italy
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(4), 353-356
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
AB The synthesis of a series of differently substituted 8-chloro-benzo[c]quinolizin-3-ones, as potent and selective human steroid 5α-reductase type 1 inhibitors, has been accomplished by a four-step procedure based on the TiCl4-promoted tandem Mannich-Michael cyclization of 2-silyloxy-1,3-butadienes with N-t-Boc iminium ions from quinolin-2-ones. The presence on the benzo[c]quinolizinone nucleus of a Me group and a double bond at positions 6 and 4-4a, resp., gave rise to one of the most potent non-steroidal steroid 5α-reductase-1 inhibitors reported so far (IC50 = 14 nM).
IT **267226-10-8P 267226-11-9P 267226-12-0P 267226-15-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of chlorobenzoquinolizinones as potent and selective inhibitors of human steroid 5α-reductase 1)
RN 267226-10-8 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-, (4aS)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



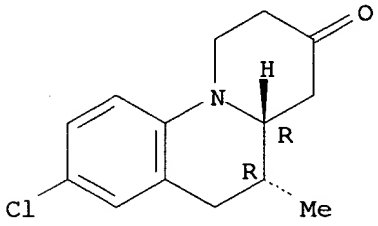
RN 267226-11-9 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-5-methyl-, (4aR,5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



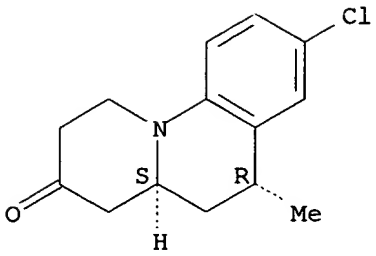
RN 267226-12-0 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-5-methyl-, (4aR,5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



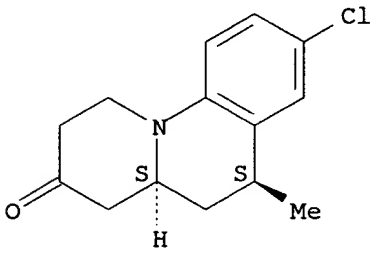
RN 267226-15-3 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-6-methyl-, (4aS,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **267226-16-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of chlorobenzoquinolizinones as potent and selective inhibitors of human steroid 5α-reductase 1)
RN 267226-16-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro-6-methyl-, (4aS,6S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:113517 CAPLUS
DN 130:178758
TI Use of benzo[c]quinolizine derivatives as plant growth regulators
IN Guarna, Antonio; Serio, Mario
PA Applied Research Systems ARS Holding N.V., Neth. Antilles
SO PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9905913	A1	19990211	WO 1998-EP4737	19980729

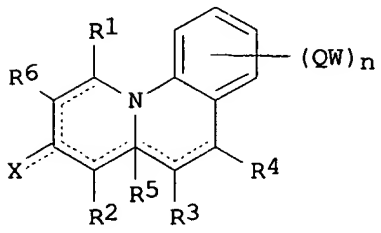
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,

CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2299465	AA	19990211	CA 1998-2299465	19980729
AU 9891570	A1	19990222	AU 1998-91570	19980729
AU 750092	B2	20020711		
EP 999747	A1	20000517	EP 1998-943798	19980729
EP 999747	B1	20030423		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001511433	T2	20010814	JP 2000-504746	19980729
AT 237938	E	20030515	AT 1998-943798	19980729
PT 999747	T	20030829	PT 1998-943798	19980729
ES 2192332	T3	20031001	ES 1998-943798	19980729
US 6514912	B1	20030204	US 2000-480238	20000110
PRAI IT 1997-FI193	A	19970801		
WO 1998-EP4737	W	19980729		

OS MARPAT 130:178758

GI



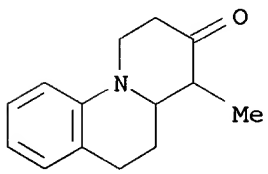
I

AB The benzo[c]quinolizine derivs. I (R1-4, R6 = H, alkyl, alkenyl, alkynyl, aryl, heterocyclyl, etc.; R5 = H, alkyl, arylalkyl, CO2H, etc.; Q = bond, alkyl, alkenyl, alkynyl, CO, etc.; W = H, alkyl, alkenyl, aryl, etc.; n = 1-4; a, b, c, d, e, f and g are single or double bonds) are plant growth regulators.

IT **5569-24-4 194979-79-8 194979-82-3 194979-83-4 194979-84-5**
RL: AGR (Agricultural use); BIOL (Biological study); USES (Uses)
(plant growth regulator)

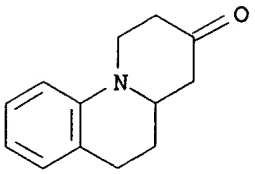
RN 5569-24-4 CAPLUS

CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)

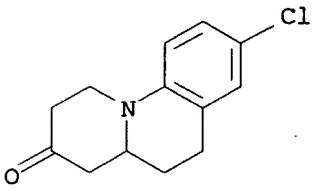


RN 194979-79-8 CAPLUS

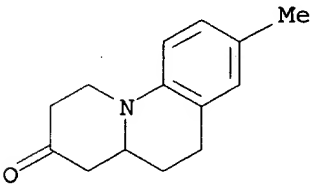
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



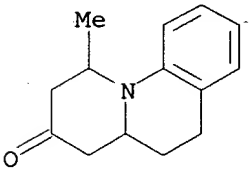
RN 194979-82-3 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RN 194979-83-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-8-methyl- (9CI) (CA INDEX NAME)



RN 194979-84-5 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-1-methyl- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:713257 CAPLUS
DN 130:52313

09593173

TI Synthesis of benzo[c]quinolizin-3-ones: selective non-steroidal inhibitors of steroid 5α-reductase 1

AU Guarna, Antonio; Occhiato, Ernesto G.; Scarpi, Dina; Tsai, Ruey; Danza, Giovanna; Comerci, Alessandra; Mancina, Rosa; Serio, Mario

CS Dipartimento di Chimica Organica "U. Schiff", Centro di Studio sulla Chimica e la Struttura dei Composti Eterociclici e lori Applicazioni, CNR, Univ. di Firenze, Florence, I-50121, Italy

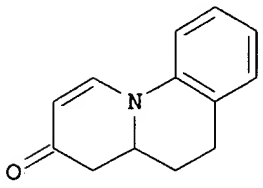
SO Bioorganic & Medicinal Chemistry Letters (1998), 8(20), 2871-2876
CODEN: BMCLE8; ISSN: 0960-894X

PB Elsevier Science Ltd.

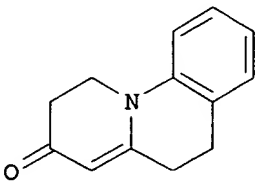
DT Journal

LA English

GI



I



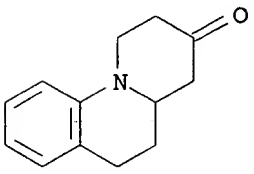
II

AB A short and efficient synthesis of novel benzo[c]quinolizin-3-ones I and II is described. The synthesis is based on the tandem Mannich-Michael cyclization between 2-(silyloxy)-1,3-butadienes and a N-t-Boc iminium ion. I and II are selective inhibitors of human steroid 5α-reductase isoenzyme 1, and thus have potential application as drugs for treatment of male pattern baldness and other DHT-dependent skin disorders.

IT **194979-79-8P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(benzo[c]quinolizin-3-ones as selective inhibitors of steroid 5α-reductase 1)

RN 194979-79-8 CAPLUS

CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1997:542448 CAPLUS

DN 127:220585

TI Benzo[c]quinolizine derivatives, their preparation and use as 5α-reductases inhibitors

IN Guarna, Antonio; Serio, Mario

09593173

PA Applied Research Systems ARS Holding N.V., Neth. Antilles; Guarna, Antonio; Serio, Mario
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9729107	A1	19970814	WO 1997-EP552	19970207
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2245758	AA	19970814	CA 1997-2245758	19970207
	AU 9717672	A1	19970828	AU 1997-17672	19970207
	AU 711886	B2	19991021		
	EP 880520	A1	19981202	EP 1997-903230	19970207
	EP 880520	B1	20030416		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 9800233	A	19981215	EE 1998-233	19970207
	EE 4058	B1	20030616		
	CN 1210536	A	19990310	CN 1997-192097	19970207
	CN 1116296	B	20030730		
	JP 2000504680	T2	20000418	JP 1997-528158	19970207
	SK 283299	B6	20030502	SK 1998-1044	19970207
	AT 237614	E	20030515	AT 1997-903230	19970207
	PT 880520	T	20030731	PT 1997-903230	19970207
	ES 2192263	T3	20031001	ES 1997-903230	19970207
	PL 187618	B1	20040831	PL 1997-328123	19970207
	EP 926148	A1	19990630	EP 1997-122733	19971223
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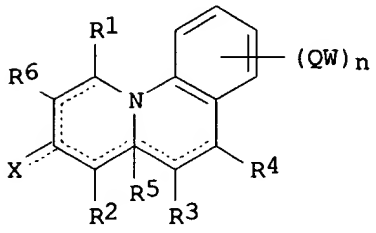
	NO 9803444	A	19980724	NO 1998-3444	19980724
	US 6303622	B1	20011016	US 1998-117583	19980729
	CA 2315055	AA	19990708	CA 1998-2315055	19981221
	WO 9933828	A1	19990708	WO 1998-EP8582	19981221
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924194	A1	19990719	AU 1999-24194	19981221
	AU 744105	B2	20020214		
	BR 9813836	A	20001010	BR 1998-13836	19981221
	EP 1066284	A1	20010110	EP 1998-966711	19981221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200000387	A	20011217	EE 2000-200000387	19981221
	JP 2001527074	T2	20011225	JP 2000-526509	19981221
	ZA 9811762	A	19990623	ZA 1998-11762	19981222

	HK 1018783	A1	20031128	HK 1999-103821	19990903
	NO 2000003199	A	20000823	NO 2000-3199	20000620
	HK 1033128	A1	20040930	HK 2001-103695	20010529
	US 2001044542	A1	20011122	US 2001-888952	20010625
	US 6555549	B2	20030429		
	US 2001047098	A1	20011129	US 2001-891088	20010625
	US 6552034	B2	20030422		
PRAI	IT 1996-FI19	A	19960209		
	WO 1997-EP552	W	19970207		
	EP 1997-122733	A	19971223		
	US 1998-117583	A1	19980729		
	WO 1998-EP8582	W	19981221		

OS MARPAT 127:220585

GI



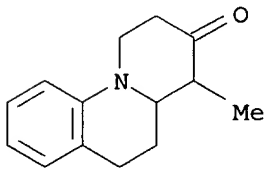
I

AB The benzo[c]quinolizine derivs. I (R1-R4, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocycle, halo, amino azide, alkoxycarbonyl, etc.; R5 =H, alkyl, alkoxycarbonyl, cyano, aryl, heterocycle; X = O, acyl, alkoxycarbonyl, NO2, carbamoyl; Q = bond, alkyl, alkenyl, alkynyl, amino, etc., W = H, alkyl, alkenyl, alkynyl, aryl, aryloxy, amino, halo, etc.) were prepared as 5α-reductases inhibitors (no data). Thus, N-(tert-butoxycarbonyl)-2-ethoxy-1,2,3,4-tetrahydroquinline was cyclized with 2-(trimethylsilyloxy)-1,3-butadiene to give 1,2,4,4a,5,6-hexahydro-(11H)-benzo[c]quinolizin-3-one.

IT **5569-24-4P 194979-79-8P 194979-82-3P 194979-83-4P 194979-84-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzo[c]quinolizine derivs. as 5α-reductases inhibitors)

RN 5569-24-4 CAPLUS

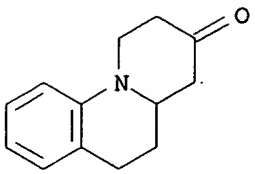
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



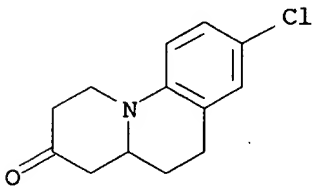
RN 194979-79-8 CAPLUS

CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX

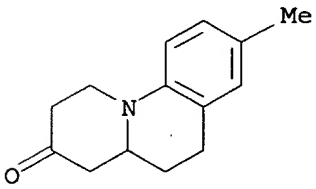
NAME)



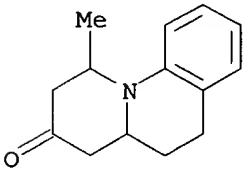
RN 194979-82-3 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 8-chloro-1,2,4,4a,5,6-hexahydro- (9CI) (CA INDEX NAME)



RN 194979-83-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-8-methyl- (9CI) (CA INDEX NAME)



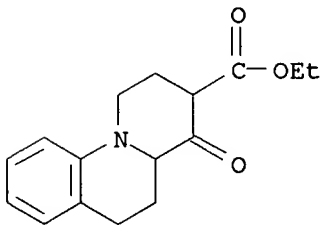
RN 194979-84-5 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-1-methyl- (9CI) (CA INDEX NAME)



L7 ANSWER 7 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1966:84768 CAPLUS
DN 64:84768

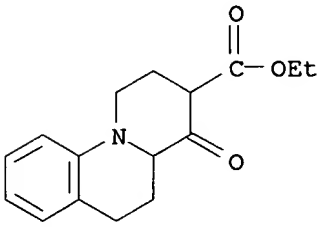
09593173

OREF 64:15941e-h,15942c
TI Preparation and chemistry of 10α-estra-4-en-3-ones
AU Farkas, Eugene; Owen, John M.; Debono, M.; Molloy, R. M.; Marsh, Max M.
CS Eli Lilly & Co., Indianapolis, IN
SO Tetrahedron Letters (1966), (10), 1023-7
CODEN: TELEAY; ISSN: 0040-4039
DT Journal
LA English
OS CASREACT 64:84768
AB cf. CA 54, 21197b. The substituted estra-4,8(10)-dien-3-ones (I, R = H, Me) in alc. hydrogenated with one equivalent H on Pd-BaSO4 or Pd-Al2O3 gave small amts. of the appropriately substituted 5α,10α-estrane (II, R = H, Me) (III, IV) and 20-30% yield of the corresponding 4-en-3-ones (V, R = H, Me) (VI, VII). In general, higher yields (60-80%) of V were obtained by use of 2% Pd-SrCO3 in C6H6 though these alternative conditions were not applicable in some redns. owing to solubility differences. VI, m. 172-3°, λ 245 μ (ε 15,800), showed an optical rotatory dispersion (O.R.D.) curve almost identical with that of the corrected curve for 10α-testosterone. The π-π* portion of the curve indicating the chirality of the chromophore showed a neg. Cotton effect, best accommodated by assumption of half-chair and boat formations for the A and B rings and with cis diaxial 2α,10α protons. The upfield shift of the 18-Me protons at 42 cycles/sec. (cps.) as compared to 50 cps. in the N.M.R. spectrum of 19-nortestosterone (VIII) confirmed the boat conformation of the B ring. VI was readily isomerized to VIII by HCl in CHCl3 or with aqueous KOBu. Further confirmation of the structure of VI was obtained by the catalytic hydrogenation of the remaining double bond to give the known III. VI was acetylated in Ac2O-C5H5N to the acetate, m. 143-4°, and oxidation of VI in C5H5N gave high yields of 10α-estra-4-ene-3,17-dione, m. 162-4°. Metal-ammonia reduction of VI yielded 20% 5α,10α-estran-3-on-17β-ol, together with a 60% yield of the 5β,9α,10α-estrane (IX), m. 121-2°. IX exhibited on O.R.D. curve with neg. Cotton effect [φ] - 1022° (λ 314 mμ, in agreement with octant rule predictions. Hydrogenation of I (R = Me) gave VII, m. 193-5°, λ 243 μ (ε 16,400) together with IV as a by-product. The O.R.D. and N.M.R. spectra of VII showed the salient features of I (R = H). VI showed no androgenic activity but maintained a high pituitary agonadotrophin inhibitory activity. A weak uterotrophic response was also noted.
IT **4527-67-7**, 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-, ethyl ester, hydrochloride
(preparation of)
RN 4527-67-7 CAPLUS
CN 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-, ethyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

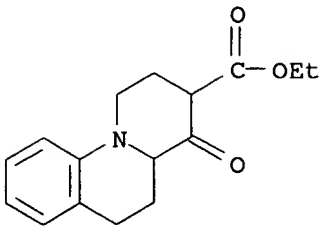
L7 ANSWER 8 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1966:84767 CAPLUS
DN 64:84767
OREF 64:15941e
TI Azasteroids. III. Approaches to 9-azasteroids
AU Schleigh, W. R.; Popp, F. D.
CS Clarkson Coll. of Technol., Potsdam, NY
SO Journal of the Chemical Society [Section] C: Organic (1966), (8), 760-2
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
OS CASREACT 64:84767
AB cf. CA 64, 5161d. Some unsuccessful approaches to 9-azasteroids are described. 3-Deoxy-18-nor-9,15,16-triaza-δ14(15))-estrone has been prepared
IT **4527-67-7**, 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-, ethyl ester, hydrochloride
(preparation of)
RN 4527-67-7 CAPLUS
CN 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-, ethyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 9 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1966:84766 CAPLUS
DN 64:84766
OREF 64:15941d-e
TI Viridin. V. Structure
AU Grove, J. F.; McCloskey, P.; Moffatt, J. S.
CS Imp. Chem. Ind. Ltd., Welwyn, UK
SO Journal of the Chemical Society [Section] C: Organic (1966), (8), 743-7
CODEN: JSOOAX; ISSN: 0022-4952
DT Journal
LA English
GI For diagram(s), see printed CA Issue.
AB cf. preceding abstract The structure of viridin (I), C20H16O6, an antifungal metabolic product of Gliocladium virens, is elucidated.
IT **4527-67-7**, 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-, ethyl ester, hydrochloride
(preparation of)
RN 4527-67-7 CAPLUS
CN 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-oxo-,

ethyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)



● HCl

L7 ANSWER 10 OF 10 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1966:35773 CAPLUS
DN 64:35773
OREF 64:6613b-h,6614a-h,6615a-h,6616a-b
TI Synthesis of 9-azasteroids. II. Synthesis of β-cyano- and β-carbethoxy-3-and 4-oxo-1,2,3,4,5,6-hexahydrobanzo[c]quinolizines
AU Jones, G.; Wood, J.
CS Univ. Keele, UK
SO Tetrahedron (1965), 21(10), 2961-71
CODEN: TETRAB; ISSN: 0040-4020
DT Journal
LA English
OS CASREACT 64:35773
GI For diagram(s), see printed CA Issue.
AB cf. CA 64, 2048c. The synthesis of 3- and 4-oxo-1,2,3,4,5,6-hexahydrobenzo[c]quinolizines with reactive ester or nitrile groups situated so as to allow addition of a 4th ring (ring D of the final 9-azasteroid) was reported. The previously prepared oxo ester (I, 12.4 g.) in 100 ml. dry PhMe treated portionwise with 1.3 g. NaH (50% paraffin mull) and the mixture refluxed 1 hr. with stirring, the cooled solution treated with 9.63 g. MeI in 25 ml. PhMe and the stirred solution slowly heated in 1 hr. to boiling, refluxed 2 hrs. and the cooled mixture diluted with 100 ml. dry Et2O, the filtered solution evaporated and the brown oil (5.5 g.) separated on Al2O3 gave the alkylation product (II), b0.0002 125-30°, and its stereoisomer, b0.0002 140-5°. Alternative routes to the non-enolizable oxo ester (III) were investigated. EtOCH2CH2OH (300 g.) and 350 g. PBr3 mixed slowly below 80° and stirred 1 hr. poured into 500 ml. ice-H2O and the washed and dried bromide distilled at 50 mm. gave 285 g. EtOCH2CH2Br. K (40.4 g.) in 800 ml. dry Me3COH stirred 30 min. at 50° with 150 g. MeCH(CO2Et)2 and the mixture refluxed 2 hrs. with stirring with 178 g. EtOCH2CH2Br, the solvent evaporated and the residue treated at 0° with 400 ml. ice-H2O and Et2O yielded 161 g. EtOCH2CH2CMe(CO2Et)2 (IV), b10 130-2°. The ester (26 g.) in 200 ml. absolute alc. saturated with HBr and kept 16 hrs., refluxed 2 hrs. and evaporated in vacuo, the residual mixture poured into 50 ml. ice-H2O and the aqueous layer basified with NaHCO3, extracted with Et2O and the dried extract distilled yielded 74% substantially pure BrCH2CH2CMe(CO2Et)2 (V), b11 138-40°. IV (102 g.) in 600 ml. 33% HBr boiled 6 hrs. with periodic distillation of EtBr,

and removal of HBr in vacuo, HBr distilled in vacuo and the distillate neutralized, saturated with NaCl and extracted with Et2O, the extracted lactone and the carboxylactone distillation residue combined, heated 1 hr. at 200° and distilled yielded 73% 2-methyl-4-butyrolactone (VI),b11 81°. VI (32 g.) in 80 ml. absolute alc. saturated with HBr at 0° and the mixture kept 24 hrs. at 20°, resatd. with HBr and kept 12 hrs. before pouring onto 120 g. ice, the ester layer and Et2O washings of the aqueous layer combined and the washed and dried solution distilled gave material, b1.0 45-50°, contaminated with 10% VI. Further washing with H2O and distillation gave pure BrCH2CH2CHMeCO2Et (VII), b1.0 47°. VII (49 g.), 24 g. Et 1,2,3,4-tetrahydroquinaldinate, 32.3 g. anhydrous K2CO3, and 1 g. KI heated 6 hrs. at 160-70° with vigorous stirring and the cooled mixture treated with cold H2O and CHCl3, the CHCl3 layer dried and distilled at 10 mm. to give 12.1 g. VI and the pressure reduced gave 8.9 g. fraction, b0.18 104-40°. Further distillation at 0.0006 mm. yielded 61% material, b0.0006 140-60°, redistd. to give pure Et N-(3-ethoxycarbonylbutyl)-1,2,3,4-tetrahydroquinaldinate (VIII), b0.0006 154-6°. VIII (11.5 g.), 21.5 g. V, and 10.6 g. anhydrous K2CO3 heated 7 hrs. at 160° with stirring and the product fractionally distilled gave mainly VIII, 2-ethoxycarbonyl-2-methyl-4-butyrolactone, and 8% required Et N-[3,3-bis(ethoxycarbonyl)butyl]-1,2,3,4-tetrahydroquinaldinate, b0.0006 150°. VIII (8.65 g.) in 60 ml. dry xylene added in 30 min. to KOBu-tert (from 1.09 g. K) in 50 ml. refluxing xylene with distillation of evolved BuOH, the cooled mixture diluted with 300 ml. dry Et2O and the hygroscopic K salt (6.0 g.) converted to the unstable base gave the acyloin (IX), HCl salt, m. 96-7°. Since the major difficulty in alkylating the cyclic ester I appeared to be competitive N-alkylation the basicity of the N was deactivated by nitration in the para-position using N2O4 in CCl4 according to Schaarschmidt et al. (CA 19, 2036). Et N-(3-ethoxycarbonylpropyl)-1,2,3,4-tetrahydroquinaldinate (X, R = H, 5.0 g.) in 50 ml. dry CCl4 at -5° stirred vigorously with 1.6 g. powdered CaCO3 with addition of 1.45 g. N2O4 in 20 ml. CCl4 and the mixture stirred 3 hrs. at -5°, warmed slowly and filtered at 20°, washed with 100 ml. cold 3N HCl, saturated aqueous NaHCO3, and H2O and the dried solution evaporated yielded 83% brown oil. A sample distilled in a bulb tube gave X (R = NO2) (XI), b0.001 200-10°. I (4.77 g.) in 100 ml. CCl4 at -5° stirred 30 min. with addition of 1.69 g. N2O4 in 40 ml. ice-cold CCl4 and the mixture stirred 3 hrs., the solution decanted at 20° and the decantation and CCl4 washings evaporated yielded 24% solid. Recrystn. of a sample gave the nitro oxoester (XII, R = H) (XIII), m. 126-9°. XIII (1.35 g.) in 30 ml. PhMe added slowly to 50 ml. refluxing PhMe containing of KOBu-tert (from 0.18 K) and the mixture refluxed 30 min., the cooled mixture treated with 1.2 g. MeI in 20 ml. PhMe and the mixture slowly heated and refluxed 3 hrs., cooled and the filtered solution evaporated gave an unstable gum, corresponding to the expected methylated compound XII (R = Me). XI (0.66 g.) in 100 ml. alc. hydrogenated over 0.1 g. prereduced PtO2 with adsorption of 3 molar equivs. H gave 0.61 g. brown oil, distilled to give the amino diester X (R = NH2), b0.0003 185-95°. The previously synthesized cyano ester (XIV, 8.16 g.) in 75 ml. xylene added in 1 hr. with stirring to 2.25 g. NaOEt in 75 ml. boiling xylene with slow distillation, the stirred mixture refluxed 1 hr. and distilled to vapor temperature 138°, the ice-cold suspension diluted with 100 ml. each of Et2O and H2O and the organic layer extracted with 100 ml. N aqueous NaOH, the combined aqueous layers adjusted with 5N HCl at 0° to pH 6 and extracted with CHCl3, the residue on evaporation (6.41 g. brown gum) purified by regeneration from the HCl salt and a sample distilled gave 3-cyano-4-oxo-1,2,3,4,5,6-hexahydrobenzo[c]quinolizine, b0.003 180°; HCl salt, m. 163°

(decomposition). Nitration of the cyano ketone gave an extremely insol. brown solid which has not been characterized. The major difficulty in synthesis of 4-oxo-1,2,3,4,5,6-hexahydrobenzo[c]quinolizine derivs. appeared to be inherent instability of systems which are formally analogous to 3-oxo-N-phenylpiperidine and synthesis of the probably more stable 3-oxo derivs. was undertaken. Attempts to synthesize the potentially useful intermediate tricyclic oxo ester (XV, R = H) (XVI) were undertaken. The initial approach was that of cyclization of the diester, Et 1-(2-ethoxycarbonylethyl)-1,2,3,4-tetrahydro-2-quinolyl acetate (XVII). Absolute alc. (300 ml.) and 4 ml. H2O containing 29.4 g. 2-quinolylacetonitrile (from 2-chloromethylquinoline HCl salt) saturated with HCl at 60° and boiled 3 hrs., the chilled mixture filtered and the residue on evaporation in vacuo treated with ice-cold saturated aqueous NaHCO3, extracted with Et2O and the product distilled yielded 76% Et 2-quinolylacetate, b0.5 136-7°. The acetate (36.65 g.) in 250 ml. AcOH hydrogenated over prereduced PtO2 with 2 moles H and the residue on evaporation treated with aqueous NaHCO3 and Et2O, the Et2O layer dried and distilled yielded 92% Et 1,2,3,4-tetrahydro-2-quinolylacetate (XVIII), b0.6 130-8°; 1-benzoyl derivative, m. 96.5-7.0° (ligroine). XVIII (10 g.), 16.42 g. BrCH2CH2CO2Et (b2.5 44°), 9.5 g. finely ground K2CO3, and 0.38 g. KI heated 4 hrs. at 140° under a short air condenser and the cooled mixture treated with H2O and Et2O, the Et2O layer and washings dried and evaporated, the residual oil distilled at 12 mm. to give 4 g. BrCH2-CH2CO2Et and at 0.003 mm. gave 1.7 g. XVIII and 63% yield of XVII, b0.003 145-60°, redistd. to give a sample, b0.003 161°. XVII (12.0 g.) cyclized with EtONa (from 0.95 g. Na in 200 ml. xylene) and the chilled (0°) mixture treated with 100 ml. H2O, the aqueous layer adjusted to pH 6.5 and diluted with Et2O, the organic layer and subsequent Et2O exts. combined and evaporated gave 93% viscous orange oil, purified by regeneration from the HCl salt to give the alternative quinazoline (XIX, R = H) (XX); HCl salt, m. 130° (Me2CO-Et2O-HCl). The cyclized Na salt suspension from 6.0 g. XVII treated at 0° with 3.06 g. MeI in 25 ml. xylene, stirred 1 hr. at 20 and 8 hrs. at 60°, the cooled mixture filtered and the filtrate and Et2O washings evaporated, the light-brown oily mixture (3.86 g.) chromatographed on neutral Al2O3 from ligroine-C6H6 gave XV (R = Me) (XXI), b0.0004 130-4°, and the major isomer (XIX, R = Me) (XXII), b4 150-5°. The light brown oil (2 g., prepared as above) boiled 6 hrs. in 5N HCl and evaporated, the residue treated with aqueous NaHCO3 and the free base extracted with Et2O yielded 73% 2-methyl-3-oxo-1,2,3,4,-5,6-hexahydrobenzo[c]quinolizine (XXIII), b0.003 130-40°. After equilibration with alc. EtONa the redistd. XXIII showed only the doublet at 0.99 ppm. Further confirmation that XXIII was a mixture of epimers and not of structural isomers was obtained by hydrolyzing and decarboxylating 0.223 g. of the pure major isomer XXII to give 88% XXIII, practically identical with that obtained from the mixture of oxo esters XXII. The equilibrated ketone XXIII heated 15 min. at 100° with a molar equivalent of 2,4-(O2N)2C6H3NHNH2 in absolute alc./HBr and the cooled mixture filtered, the salt taken up in CHCl3 and shaken vigorously with aqueous Na2CO3 and H2O, dried and evaporated gave XXIII dinitrophenylhydrazone, m. 195-8°. To identify the ketone and hence to deduce the direction of the Dieckmann cyclization in the di-ester XVII, attempts were made to synthesize XXIII or its isomer 4-methyl-3-oxo-1,2,3,4,5,6-hexahydrobenzo[c]quinolizine (XXIV), but attempts to alkylate XVIII with Me2CBrCO2Et were unsuccessful in the production of XXIII. Quinaldyllithium (from 252 g. quinaldine) in Et2O added to 268 g. MeI under gentle reflux and the mixture refluxed 1 hr., kept 16 hrs. at 20° and treated with 1300 ml. 5N HCl, the acid layer separated and the

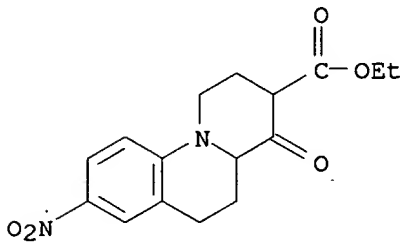
Et2O layer extracted with acid, the combined acid layers basified with NH4OH (d. 0.880) and the bases extracted with Et2O gave 47 g. quinaldine and 57% yield of 2-ethylquinoline, b14 134-5°. A filtered solution of PhLi (from 90 g. PhBr) added slowly with stirring to 75 g. 2-ethylquinoline in 100 ml. Et2O and the mixture refluxed 1 hr., the filtered 2-ethylquinolyllithium added in 1 hr. with stirring to 34 g. Et2CO3 in 100 ml. Et2O and the mixture boiled 3 hrs., the cooled solution treated with 500 ml. ice-cold 5N HCl, the acid layer and acid exts. neutralized with NH4OH and extracted with Et2O, evaporated and the residue distilled gave 29 g. 2-ethylquinoline b0.05 60-85°, and 15% yield of Et 2-(2-quinolyl)propionate (XXV), b0.05 116°; picrate, m. 137-40° (alc.). XXV (15.8 g.) in 150 ml. AcOH hydrogenated over 0.3 g. prereduced PtO2 with 2 moles H, the filtered solution evaporated and the residue shaken with aqueous NaHCO3 and Et2O, the Et2O extract dried and distilled gave 85% tetrahydro ester (XXVI) (R = H, R' = CHMeCO2Et) (XXVII), b0.7 134-8°. XXVII (13.9 g.), 21.5 g. BrCH2CH2CO2Et, 12.4 g. K2CO3, and 0.5 g. KI vigorously stirred 6 hrs. at 150° and the cooled product worked up as for XVII gave mainly 8.18 g. XXVII, b0.002 90-120°, and a 73% yield of the diester XXVI (R = CH2CH2CO2Et, R' = CHMeCO2Et) (XXVIII), b0.002 148-54°. XXVIII (6.48 g.) in 50 ml. xylene added slowly to KOCMe3 (from 0.836 g. K) in 75 ml. boiling xylene with slow distillation continued 1 hr., the cooled mixture treated with 100 ml. ice-H2O and acidified to pH 6, extracted with Et2O and the residue on evaporation gave 2-ethoxycarbonyl-4-methyl-3-oxo-1,2,3,4,5,6-hexahydrobenzo[c]quinolizine (XXIX); HCl salt, melting to a thick glass at 50-5°, mobile at 85-90°. XXIX (2.5 g.) boiled 5 hrs. in 50 ml. 5N HCl and the residue on evaporation at 14 mm. treated with saturated aqueous NaHCO3 and Et2O, the Et2O extract dried and distilled gave a ketone, recrystn. from ligroine gave colorless rods, m. 96-7°; 2,4-dinitrophenylhydrazone, m. 153-5°. XXIII and XXIV differed markedly in ir absorption between 1450 and 700 cm.-1 and had retention times of 16.0 and 14.8 min. at 150°. Accordingly the C-methylation decarboxylation product was XXIII, the methylated keto ester XXII and the Dieckmann cyclization of XVII gave the oxo ester XX, unsuitable for further use in a 9-azasteroid synthesis. In view of the high yield obtained in cyclization of the cyano ester XIV it was decided finally to prepare and cyclize the isomeric cyano ester XXVI (R = CH2CH2CO2Et, R' = CH2CN) (XXX). XVIII (18 g.) in 500 ml. dry MeOH saturated with NH3 at 0° and autoclaved 40 hrs. at 100°, the solution evaporated and the gum triturated with ligroine yielded 85% XXVI (R = H R' = CH2CONH2) (XXXI), m. 98-103°, recrystd. from C5H6 to give a sample m. 103-4°; N-Bz derivative, m. 198-201° (alc.). XXXI (12.5 g.) and 5.93 g. NaCl in 60 ml. ClCH2CH2Cl stirred 15 min. with addition of 8.93 g. POCl3 in 10 ml. ClCH2CH2Cl, the mixture warmed and boiled with stirring 12 hrs., the cooled mixture treated with 8.0 g. NaOH in MeOH and shaken out twice with cold brine, the organic layer dried and distilled yielded 72% XXVI (R = H, R' = CH2CN) (XXXII), b0.06 124-7°; N-Bz derivative, m. 130° (alc.). XXXII (5.0 g.), 10.47 g. BrCH2CH2CO2Et, 6.02 g. K2CO3, and 0.24 g. KI heated 6 hrs. at 140° with stirring, the crude product isolated as for XVII and heated 8 hrs. at 145° with 10.5 g. BrCH2CH2CO2Et and 6 g. K2CO3, worked up again as for XVII to give 1.6 g. XXXII, b0.0006 110-35° and 80% yield of XXX, b0.0006 156-62°, m. 66° (ligroine). XXX (2.96 g.) in 50 ml. xylene added in 1 hr. with stirring to EtONa (from 0.275 g. Na) in 60 ml. boiling xylene and the boiling mixture stirred 1 hr., worked up as for the cyano ketone from XIV to give 82% light yellow solid, m. 132-8°, recrystd. from alc. to colorless rhombs of the cyano ketone (XXXIII), m. 135.0-7.5°; HCl salt, m. 133-41°

(Me2CO); phenylhydrazone, m. 166-7° (alc.). Since the yields are good throughout the synthesis the intermediate required for elaboration of ring D is available in quantity.

IT **5100-53-8**, 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-8-nitro-4-oxo-, ethyl ester **5100-62-9**, 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3-oxo-, ethyl ester, hydrochloride **5100-63-0**, 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-2-methyl-3-oxo-, ethyl ester **5100-64-1**, 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-2-methyl- **5100-70-9**, 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-methyl-3-oxo-, ethyl ester **5100-71-0**, 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-methyl-3-oxo-, ethyl ester, hydrochloride **5100-76-5**, 1H-Benzo[c]quinolizine-4-carbonitrile, 2,3,4,4a,5,6-hexahydro-3-oxo-, hydrochloride **5100-77-6**, 1H-Benzo[c]quinolizine-4-carbonitrile, 2,3,4,4a,5,6-hexahydro-3-oxo- **5161-92-2**, 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3-oxo-, ethyl ester **5161-93-3**, 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3,4a-dimethyl-4-oxo-, ethyl ester **5569-24-4**, 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl- (preparation of)

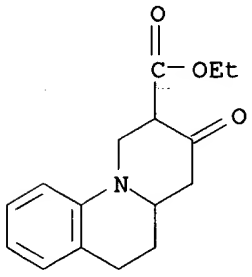
RN 5100-53-8 CAPLUS

CN 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-8-nitro-4-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



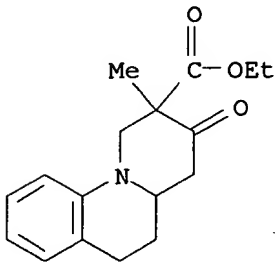
RN 5100-62-9 CAPLUS

CN 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3-oxo-, ethyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)

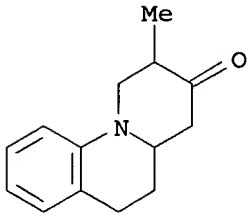


● HCl

RN 5100-63-0 CAPLUS
CN 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-2-methyl-3-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 5100-64-1 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-2-methyl- (7CI, 8CI) (CA INDEX NAME)



RN 5100-70-9 CAPLUS
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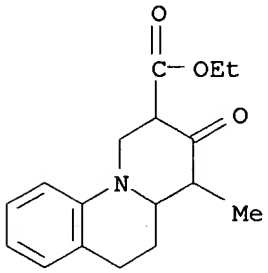
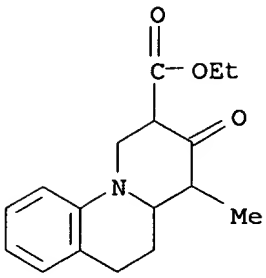
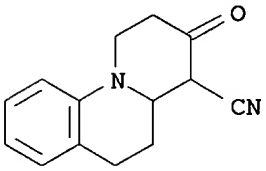


RN 5100-71-0 CAPLUS
CN 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-4-methyl-3-oxo-, ethyl ester, hydrochloride (7CI, 8CI) (CA INDEX NAME)



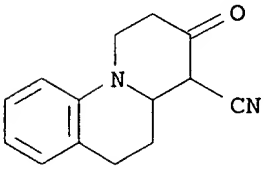
● HCl

RN 5100-76-5 CAPLUS
CN 1H-Benzo[c]quinolizine-4-carbonitrile, 2,3,4,4a,5,6-hexahydro-3-oxo-, hydrochloride (7CI, 8CI) (CA INDEX NAME)

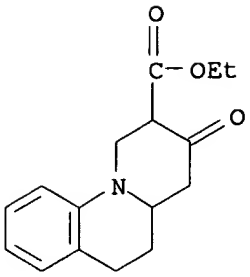


● HCl

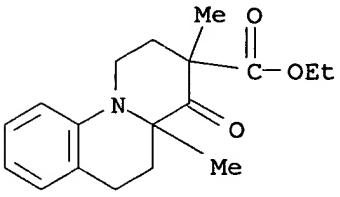
RN 5100-77-6 CAPLUS
CN 1H-Benzo[c]quinolizine-4-carbonitrile, 2,3,4,4a,5,6-hexahydro-3-oxo- (7CI, 9CI) (CA INDEX NAME)



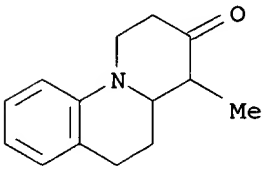
RN 5161-92-2 CAPLUS
CN 1H-Benzo[c]quinolizine-2-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 5161-93-3 CAPLUS
CN 1H-Benzo[c]quinolizine-3-carboxylic acid, 2,3,4,4a,5,6-hexahydro-3,4a-dimethyl-4-oxo-, ethyl ester (7CI, 8CI) (CA INDEX NAME)



RN 5569-24-4 CAPLUS
CN 3H-Benzo[c]quinolizin-3-one, 1,2,4,4a,5,6-hexahydro-4-methyl- (7CI, 8CI, 9CI) (CA INDEX NAME)



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L11 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:971589 CAPLUS
DN **140:13093**
TI Use of benzo[c]quinolizinium derivatives for the treatment of diseases related to smooth muscle cell constriction
IN Becq, Frederic; Robert, Renaud; Pignoux Bulteau, Laurence; Rogier, Christian; Mettey Renoult, Yvette; Vierfond, Jean Michel; Joffre, Michel; Marivingt, Mounir Cecile
PA Centre National de la Recherche Scientifique CNRS, Fr.
SO Fr. Demande, 59 pp.
CODEN: FRXXBL
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI FR 2840610	A1	20031212	FR 2002-6916	20020605
WO 2003104228	A1	20031218	WO 2003-FR1688	20030605
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1509520	A1	20050302	EP 2003-757110	20030605
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI FR 2002-6916	A	20020605		
WO 2003-FR1688	W	20030605		

OS MARPAT 140:13093
AB The invention discloses the use of benzo[c]quinolizinium derivs. (preparation included) for the treatment of diseases related to smooth muscle cell constriction, e.g. arterial hypertension and asthma.
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1998:112345 CAPLUS
DN **128:167362**
TI Preparation of benzo[c]quinolizinium salts and analogs as CFTR channel activators
IN Becq, Frederic; Mettey, Yvette; Vierfond, Jean-Michel; Verrier, Bernard; Gola, Maurice
PA Centre National de la Recherche Scientifique, Fr.; Becq, Frederic; Mettey, Yvette; Vierfond, Jean-Michel; Verrier, Bernard; Gola, Maurice
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA French
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9805642	A1	19980212	WO 1997-FR1436	19970731
W: CA, JP, US				

	RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	FR 2751969	A1	19980206	FR 1996-9721	19960801
	FR 2751969	B1	19981204		
	CA 2258924	AA	19980212	CA 1997-2258924	19970731
	EP 937044	A1	19990825	EP 1997-936724	19970731
	EP 937044	B1	20020130		
	R: CH, DE, FR, GB, IT, LI				
	JP 2000515863	T2	20001128	JP 1998-507677	19970731
	US 6630482	B1	20031007	US 1999-230747	19990302
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OS MARPAT 128:167362

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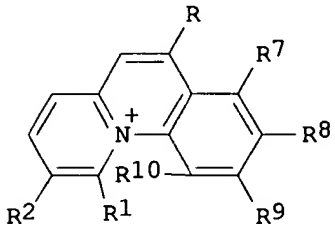

I

AB Title compds. (e.g., I.X; R1,R2 = H; R1R2 = atoms to complete a 6-membered aromatic ring; R7-R10 = H; 1 of R7-R10 may = halo; X = halide ion, ClO4-, etc.) were prepared Thus, 2-ClC6H4CN was cyclocondensed with 2-methylpyridine to give I.Cl-. Data for biol. activity of title compds. were given.

RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN

AN 1996:315340 CAPLUS

DN **124:356152**

TI Method for making negative lith images or direct-positive images

IN Dewanckele, Jean-Marie; Terrell, David; Andriessen, Hieronymus; Viaene, Kris

PA Agfa-Gevaert Naamloze Vennootschap, Belg.

SO Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 704751	A1	19960403	EP 1995-202566	19950922
	R: BE, DE, FR, GB, NL				
	JP 08220706	A2	19960830	JP 1995-271980	19950925
PRAI	EP 1994-202772	A	19940927		

OS MARPAT 124:356152

AB A method is provided for making neg. lithog. images or direct-pos. images by the steps of imagewise exposing a photog. light-sensitive silver halide material comprising a support, at least one internal latent image-type silver halide emulsion layer (in the case of direct-pos. materials) or surface latent image-type silver halide emulsion layer (in the case of lithog. materials) and development-nucleating amts. of a compound or a

precursor thereof, said compound having at least one quaternary heterocyclic ring system comprising at least three rings including a dihydropyridinium ring wherein carbon-nitrogen and carbon-carbon double bonds are also part of an aromatic ring, being one of said three rings, and wherein said double bonds and nitrogen atom in said dihydropyridinium ring are incorporated into annelated conjugated ring systems and developing said exposed photog. material in an alkaline surface developer, wherein said precursor is rapidly converted into a ring system as defined hereinbefore.

L13 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:2706 CAPLUS
DN 140:53449
TI Pharmaceutical compositions for the treatment of diseases related to neurotrophins
IN **Guarna, Antonio**; Cozzolino, Federico; Torcia, Maria; Garaci, Enrico
PA Italy
SO PCT Int. Appl., 76 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004000324	A1	20031231	WO 2003-EP6471	20030618

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRAI IT 2002-FI107 A 20020619
OS MARPAT 140:53449
AB The invention refers to pharmaceutical prepns. including as active compds. 3-aza-bicyclo[3.2.1]octane derivs. and/or their dimers acting as agonists of human neurotrophins. Therefore, such compds. are useful for treatment of diseases in which the neurotrophin functions are involved in defect, particularly of Nerve Growth Factor (NGF), such as neurodegenerative diseases of central nervous system (CNS), acquired immunodeficiency due to a reduced NGF bioavailability, or morbous conditions in which the stimulus of neoangiogenesis process is convenient.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2001:654699 CAPLUS
DN 135:211044
TI Preparation of 3-aza-6,8-dioxabicyclo[3.2.1]octanecarboxylates and analogs
IN **Guarna, Antonio**; Menchi, Gloria; Occhiato, Ernesto Giovanni; Machetti, Fabrizio; Scarpi, Dina
PA Universita Degli Studi di Firenze, Italy
SO Eur. Pat. Appl., 26 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1130022	A1	20010905	EP 2000-104135	20000229

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO

CA 2401693	AA	20010907	CA 2001-2401693	20010227
WO 2001064686	A1	20010907	WO 2001-EP2185	20010227

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR,

HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 2003176414 A1 20030918 US 2002-220556 20021101
PRAI EP 2000-104135 A 20000229
WO 2001-EP2185 W 20010227
OS CASREACT 135:211044; MARPAT 135:211044
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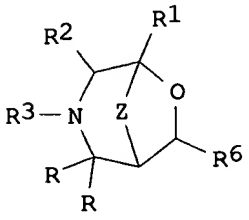

I

AB Title compds. [e.g., I; RR = O or each R = H; R1 = (un)substituted Ph; R2 = H, Me, CH2Ph; R3 = (un)substituted phenyl(methyl), CH(CO2H)CH2Ph, allyl, etc.; R6 = H, Me, CO2H, CH2OH; Z = O or NH] were prepared Thus, PhCOCH2NHCH2Ph was N-acylated by 1,4-dioxane-2,3-dicarboxylic acid monomethyl ester and the product cyclized to give I (RR = O, R1 = R3 = CH2Ph, R2 = H, R6 = CO2Me, Z = O). The method is suitable for solid phase synthesis and the preparation of combinatorial libraries.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:117047 CAPLUS
DN 132:151692
TI Preparation of (1H)-benzo[c]quinolizin-3-ones for use as 5α-reductase inhibitors
IN **Guarna, Antonio**; Serio, Mario; Occhiato, Ernesto Giovanni
PA Applied Research Systems Ars Holding N.V., Neth. Antilles
SO PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000008019	A1	20000217	WO 1999-EP5277	19990723
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2338498	AA	20000217	CA 1999-2338498	19990723

	AU 9963123	A1	20000228	AU 1999-63123	19990723
	AU 751873	B2	20020829		
	EP 1102765	A1	20010530	EP 1999-941269	19990723
	EP 1102765	B1	20030917		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	TR 200100286	T2	20010723	TR 2001-200100286	19990723
	BR 9912870	A	20011016	BR 1999-12870	19990723
	EE 200100060	A	20020617	EE 2001-60	19990723
	JP 2002522435	T2	20020723	JP 2000-563652	19990723
	NZ 509243	A	20021126	NZ 1999-509243	19990723
	CZ 291648	B6	20030416	CZ 2001-434	19990723
	AT 250057	E	20031015	AT 1999-941269	19990723
	CN 1128148	B	20031119	CN 1999-809204	19990723
	PT 1102765	T	20031231	PT 1999-941269	19990723
	ES 2203169	T3	20040401	ES 1999-941269	19990723
	ZA 2001000365	A	20010726	ZA 2001-365	20010112
	BG 105198	A	20011231	BG 2001-105198	20010130
	NO 2001000559	A	20010201	NO 2001-559	20010201
	US 6723850	B1	20040420	US 2001-743373	20010525
	HK 1037368	A1	20040618	HK 2001-108153	20011120
PRAI	EP 1998-114524	A	19980803		
	WO 1999-EP5277	W	19990723		

OS MARPAT 132:151692

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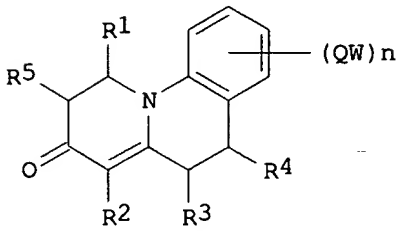

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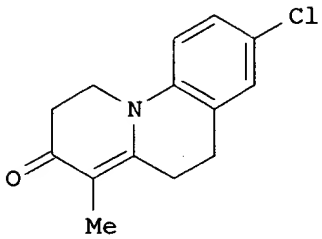

II

AB Benzo[c]quinolizin-3-ones I [R, R1, R2, R3, R4, R5 = H, CN, N3, alkyl alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, halogen, amino, alkyloxy, aryloxy, carboxy, carboxamido; Q = bond, CO, alkyl, alkenyl, alkynyl, cycloalkyl, CONR, NR; W = H, CF3, CN, alkyl alkenyl, alkynyl, cycloalkyl, aryl, heterocyclyl, halogen, amino, alkyloxy, aryloxy, acyl,carboxy, carboxamido, etc.] were prepared for use as 5α-reductase inhibitors (no data). Thus, benzo[c]quinolizin-3-one II was prepared in a two step sequence which comprised N-alkylation of 6-chloro-3,4-dihydro-2(1H)-quinolinethione with Et vinyl ketone using K2CO3 and 18-crown-6 in THF and intramol. cyclocondensation of the resulting N-(3-oxopentyl)quinolinethione using Me2SO4 and DBU in toluene.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L13 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2000:50074 CAPLUS
DN 132:93206
TI Preparation of aminoalcohols and aminoketones as CNS active agents
IN **Guarna, Antonio**; Pupi, Alberto; Berti, Giovanna; Bottoncetti, Anna; Menchi, Gloria
PA Universita degli Studi di Firenze, Italy

09593173

SO Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 972764	A1	20000119	EP 1999-113902	19990716
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	IT 1304874	B1	20010405	IT 1998-FI171	19980717
PRAI	IT 1998-FI171	A	19980717		

OS MARPAT 132:93206
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; R1, R2 = H, alkyl, alkenyl, etc.; R1 and R2 can be linked together to form an imide or amide cyclic system (Cy) selected from II, III, IV, etc.; A = O, OR, NR3R4 (wherein R, R3, R4 = H, alkyl, alkenyl, etc.); Q = a single bond, alkyl, alkenyl, etc.; W = H, alkyl, alkenyl, etc.; n = 1-4; m = 0-1; provided that at least one of the substituent W is always an halogen atom; when A = OR and R = aryl, R1 and R2 cannot be H and C1-4 alkyl; when m = 1, Q = single bond and n = 1 or 2, W cannot be Ph] which interact with CNS receptors and can be used as drugs for the treatment of CNS diseases or, if opportunely labeled, with radioactive isotopes, as radioligands or tracers to study CNS receptors in vitro and in vivo, were prepared Thus, reacting benzaldehyde with 3-(2-bromo-4-fluorophenyl)-3-hydroxypropylamine in the presence of NaBH3CN afforded V which showed an affinity for both S2 and D2 receptors with IC50 of 18.7 μM in the S2 assay and IC50 of 34 μM in the D2 assay.

RE.CNT 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

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=> s e3
L14 1 WO9933828/PN

=> d bib abs hitstr

L14 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:542448 CAPLUS
DN 127:220585
TI Benzo[c]quinolizine derivatives, their preparation and use as 5α-reductases inhibitors
IN Guarna, Antonio; Serio, Mario
PA Applied Research Systems ARS Holding N.V., Neth. Antilles; Guarna, Antonio; Serio, Mario
SO PCT Int. Appl., 25 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9729107	A1	19970814	WO 1997-EP552	19970207
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2245758	AA	19970814	CA 1997-2245758	19970207
	AU 9717672	A1	19970828	AU 1997-17672	19970207
	AU 711886	B2	19991021		
	EP 880520	A1	19981202	EP 1997-903230	19970207
	EP 880520	B1	20030416		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 9800233	A	19981215	EE 1998-233	19970207
	EE 4058	B1	20030616		
	CN 1210536	A	19990310	CN 1997-192097	19970207
	CN 1116296	B	20030730		
	JP 2000504680	T2	20000418	JP 1997-528158	19970207
	SK 283299	B6	20030502	SK 1998-1044	19970207
	AT 237614	E	20030515	AT 1997-903230	19970207
	PT 880520	T	20030731	PT 1997-903230	19970207
	ES 2192263	T3	20031001	ES 1997-903230	19970207
	PL 187618	B1	20040831	PL 1997-328123	19970207
	EP 926148	A1	19990630	EP 1997-122733	19971223
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	NO 9803444	A	19980724	NO 1998-3444	19980724
	US 6303622	B1	20011016	US 1998-117583	19980729
	CA 2315055	AA	19990708	CA 1998-2315055	19981221
	WO 9933828	A1	19990708	WO 1998-EP8582	19981221
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,				

	CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9924194	A1	19990719	AU 1999-24194	19981221
	AU 744105	B2	20020214		
	BR 9813836	A	20001010	BR 1998-13836	19981221
	EP 1066284	A1	20010110	EP 1998-966711	19981221
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	EE 200000387	A	20011217	EE 2000-200000387	19981221
	JP 2001527074	T2	20011225	JP 2000-526509	19981221
	ZA 9811762	A	19990623	ZA 1998-11762	19981222
	HK 1018783	A1	20031128	HK 1999-103821	19990903
	NO 2000003199	A	20000823	NO 2000-3199	20000620
	HK 1033128	A1	20040930	HK 2001-103695	20010529
	US 2001044542	A1	20011122	US 2001-888952	20010625
	US 6555549	B2	20030429		
	US 2001047098	A1	20011129	US 2001-891088	20010625
	US 6552034	B2	20030422		
PRAI	IT 1996-FI19	A	19960209		
	WO 1997-EP552	W	19970207		
	EP 1997-122733	A	19971223		
	US 1998-117583	A1	19980729		
	WO 1998-EP8582	W	19981221		

OS MARPAT 127:220585

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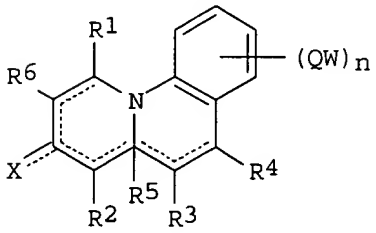

I

AB The benzo[c]quinolizine derivs. I (R1-R4, R6 = H, alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heterocycle, halo, amino azide, alkoxycarbonyl, etc.; R5 =H, alkyl, alkoxycarbonyl, cyano, aryl, heterocycle; X = O, acyl, alkoxycarbonyl, NO2, carbamoyl; Q = bond, alkyl, alkenyl, alkynyl, amino, etc., W = H, alkyl, alkenyl, alkynyl, aryl, aryloxy, amino, halo, etc.) were prepared as 5α-reductases inhibitors (no data). Thus, N-(tert-butoxycarbonyl)-2-ethoxy-1,2,3,4-tetrahydroquinline was cyclized with 2-(trimethylsilyloxy)-1,3-butadiene to give 1,2,4,4a,5,6-hexahydro-(11H)-benzo[c]quinolizin-3-one.